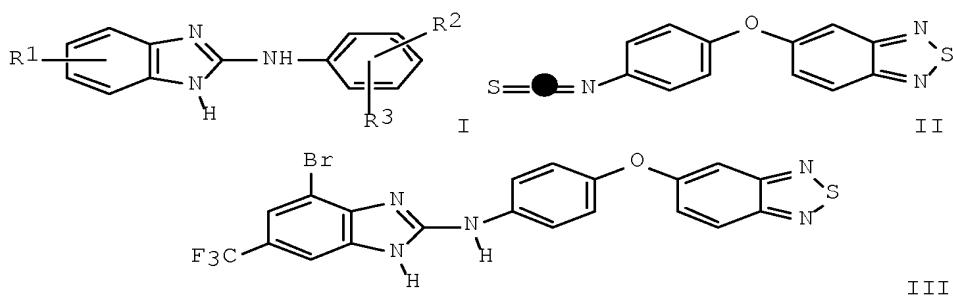


L7 ANSWER 1 OF 1 ZCA COPYRIGHT 2007 ACS on STN
AN 142:280210 ZCA Full-text
TI Preparation of 2-aminobenzimidazoles as TIE-2 and Raf kinase inhibitors
for the treatment of tumors
IN Hoelzemann, Guenter; Crassier, Helene; Ackermann, Karl-August; Staehle,
Wolfgang; Jonczyk, Alfred; Rautenberg, Wilfried; Mitjans, Francesco;
Rosell-Vives, Elisabet; Adan, Jaume; Soler, Marta
PA Merck Patent GmbH, Germany
SO PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DT D-1

DT	Patent						
LA	German						
FAN.CNT	1						
	PATENT NO.	KIND	DATE		APPLICATION NO.		DATE
	-----	---	-----		-----		-----
PI	WO 2005019216	A1	20050303		WO 2004-EP8042		20040719 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW						
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
DE	10337942	A1	20050317		DE 2003-10337942		20030818
AU	2004266797	A1	20050303		AU 2004-266797		20040719
CA	2536095	A1	20050303		CA 2004-2536095		20040719
EP	1656377	A1	20060517		EP 2004-741135		20040719
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK						
JP	2007502786	T	20070215		JP 2006-523546		20040719
US	2007021456	A1	20070125		US 2006-568626		20060216
PRAI	DE 2003-10337942	A	20030818				
	WO 2004-EP8042	W	20040719				

GI



AB Title compds. I [$R_1 = (R_4)m$; $R_2 = (R_4')p$; $R_3 = L-Y$; $R_4, R_4' = \text{halo, OH, CN, etc.}; L = \text{CH}_2, \text{CH}_2\text{CH}_2, O, \text{etc.}; Y = \text{heterocycle}$; $m, p = 0-4$] and their pharmaceutically acceptable salts were prepared. For example, condensation of 4-fluoronitrobenzene and isothiocyanate II, e.g., prepared from 5-hydroxy-2,1,3-benzothiadiazole in 3-steps, afforded aminobenzimidazole III. In TIE-2 tyrosine kinase receptor inhibition assays, 4-examples of compds. I exhibited IC₅₀ values

ranging from 0.22-0.39 μ M, e.g., the IC₅₀ value of aminobenzimidazole III was 0.22 μ M. Compds. I are claimed to be useful for the treatment of tumors via the inhibition of TIE-2 and Raf kinases.